

SPOOR AND FISHER

Express Mail Cert. No.: EV 316 332 220 US
Invegtor: Michael Christian Norris
Application No.: 10/505,291
Attorney Docket No.: 45669-304008

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REPUBLIC OF SOUTH AFRICA

FORM P.1.

REPUBLIC OF SOUTH AFRICA
PATENTS ACT, 1978

APPLICATION FOR A PATENT
AND ACKNOWLEDGEMENT OF RECEIPT
(Section 30 (1) - Regulation 22)

REVENUE STAMPS OR REVENUE FRANKING
MACHINE IMPRESSION

0550

OFFICIAL DATE STAMP

The grant of a patent is hereby requested by the undermentioned applicant on the basis of the present application
filed in duplicate

OFFICIAL APPLICATION No.

S & F REFERENCE

21 01 893422

JP/C 628

NAAM VERANDER 27-2-91 ADCOCK INGRAM Pharmaceuticals Ltd.
FULL NAME(S) OF APPLICANT(S)

71 NAME CHANGED PHARMACEUTICALS
ADCOCK-INGRAM LABORATORIES LIMITED NAAM VERANDER
NAME CHANGED 9-1-91

ADDRESS(ES) OF APPLICANT(S)

ADCOCK-INGRAM HOUSE, 105 QUARTZ STREET, HILLBROW

TITLE OF INVENTION

54 PHARMACEUTICAL COMPOSITION

PRIORITY IS CLAIMED AS SET OUT ON THE ACCOMPANYING FORM P.2.

THIS APPLICATION IS FOR A PATENT OF ADDITION TO PATENT APPLICATION NO.

21 01

THIS APPLICATION IS A FRESH APPLICATION IN TERMS OF SECTION 37 AND BASED ON APPLICATION NO.

21 01

THIS APPLICATION IS ACCOMPANIED BY:

- ☒ 1. A single copy of a provisional or two copies of a complete specification of7..... pages.
- ☐ 2. Drawings of sheets
- ☒ 3. Publication particulars and abstract (Form P.B. in duplicate)
- ☐ 4. A copy of Figure of the drawings (if any) for the abstract
- ☒ 5. Assignment of invention C.C.P.
- ☐ 6. Certified priority document(s) (State number)
- ☐ 7. Translation of the priority document(s)
- ☐ 8. An assignment of priority rights
- ☒ 9. A copy of the Form P.2. and the specification of S.A. Patent Application No. 21 01 88/1283
88/1285
- ☐ 10. A declaration and power of attorney on Form P.3.
- ☐ 11. Request for ante-dating on Form P.4.
- ☐ 12. Request for classification on Form P.9.
- ☒ 13. Form P.2 in duplicate

74 ADDRESS FOR SERVICE: SPOOR AND FISHER, SANDTON, PRETORIA, DURBAN

Dated this 9th Day of MAY 1989

[Signature]

RECEIVED

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REGISTRAR OF PATENTS

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SPOOR AND FISHER

FORM P.7.
(To be lodged in duplicate)

REPUBLIC OF SOUTH AFRICA
PATENTS ACT, 1978
COMPLETE SPECIFICATION
(Section 30(1) - Regulation 28)

OFFICIAL APPLICATION NO.

| | | |
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| 21 | 01 | 893422 |
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LODGING DATE

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| 22 | 9 MAY 1989 |
|----|------------|

INTERNATIONAL CLASSIFICATION

| | |
|----|--------|
| 51 | A 61 K |
|----|--------|

FULL NAME(S) OF APPLICANT(S)

| | |
|----|---|
| 71 | <p>ADCOCK-INGRAM ^{PHARMACEUTICALS} LABORATORIES LIMITED NAAM VERANDER NAAM VERANDER NAME CHANGED NAME CHANGED 27-2-91 Adcock Ingram Pharmaceuticals Ltd.</p> |
|----|---|

FULL NAME(S) OF INVENTOR(S)

| | |
|----|-----------------------|
| 72 | STUART DAVID ASHWORTH |
|----|-----------------------|

TITLE OF INVENTION

| | |
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| 54 | PHARMACEUTICAL COMPOSITION |
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BACKGROUND OF THE INVENTION

This invention relates to a pharmaceutical composition.

There are many anti-inflammatory compounds presently on the market. Among these compounds may be mentioned indomethacin, flubiprofen, ketoprofen, ibuprofen, fenoprofen, indoprofen, fenbufen, naproxen and diclophenac. These compounds are administered to humans primarily for their anti-inflammatory activity, although some of the compounds do exhibit other useful therapeutic indications.

South African Patent No. 79/6821 discloses a pharmaceutical composition comprising as a primary agent a compound selected from diclophenac, sulindac, fenbufen, naproxen, ketoprofen, indoprofen and fenoprofen, in combination with a potentiating agent which is paracetamol (acetaminophen).

South African Patent No. 84/5785 discloses a pharmaceutical composition comprising, as active ingredients, ibuprofen, paracetamol and codeine.

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SUMMARY OF THE INVENTION

According to the present invention, there is provided a pharmaceutical composition comprising as active ingredients therapeutically effective amounts of an anti-inflammatory agent selected from diclophenac, sulindac, indomethacin, naproxen, piroxicam, ketoprofen, and tiaprofenic acid, and pharmaceutically acceptable salts thereof, and the analgesics paracetamol and codeine.

DETAILED DESCRIPTION OF THE INVENTION

The anti-inflammatory compound may be used as such or in the form of a pharmaceutically acceptable salt, for example, the sodium salt. An example of a particularly suitable salt is diclophenac sodium.

The anti-inflammatory compounds and pharmaceutically salts thereof will preferably be administered in the following daily dosages:

| <u>Anti-inflammatory</u> | <u>Dosage (mg)</u> |
|--------------------------|--------------------|
| Diclophenac | 20 - 150 |
| Sulindac | 100 - 500 |
| Indomethacin | 25 - 150 |
| Naproxen | 200 - 800 |
| Piroxicam | 10 - 60 |
| Ketoprofen | 25 - 300 |
| Tiaprofenic Acid | 150 - 600 |

The pharmaceutical composition may be used to treat inflammation in mammals, more particularly conditions of acute

inflammation in mammals, more particularly conditions of acute inflammation in mammals.

The composition may be provided in the form of tablets, suspension or capsules. For tablets, the active ingredients will be mixed with suitable binders, diluents, disintegrants and lubricants. For capsules, the active ingredients will be mixed with suitable binders, diluents and lubricants and then filled into appropriate capsules. If the composition is to be a sustained release composition, the anti-inflammatory compound will be rendered sustained release by any one of a number of known methods in the art. Enteric coatings may be provided for the tablets and capsules.

The invention will be illustrated by the following examples. Example 1 is an example of a capsule containing sulindac as the anti-inflammatory compound. Examples 2 to 4 are formulations containing diclophenac sodium as the anti-inflammatory compound.

EXAMPLE 1 - CAPSULES

| <u>Ingredient</u> | <u>Amount (mg)</u> |
|----------------------|--------------------|
| Sulindac | 100 - 700 |
| Paracetamol | 250 - 1500 |
| Codeine | 5 - 60 |
| Diluent/Disintegrant | 1 - 100 |
| Lubricant/Glidant | 2 - 10 |
| Lubricant | 1 - 10 |

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EXAMPLE 2 - CAPSULES

| <u>Ingredient</u> | <u>mg Capsule</u> |
|----------------------|-------------------|
| Diclophenac Sodium | 25 - 100 |
| Paracetamol | 250 - 1500 |
| Codeine | 5 - 60 |
| Diluent/Disintegrant | 0 - 200 |
| Glidants | 1 - 15 |
| Disintegrant | 0 - 20 |

EXAMPLE 3 - TABLETS

| <u>Ingredient</u> | <u>mg Tablet</u> |
|--------------------|------------------|
| Diclophenac Sodium | 25 - 100 |
| Paracetamol | 250 - 1500 |
| Codeine | 5 - 60 |
| Diluent | 10 - 150 |
| Disintegrant | 1 - 40 |
| Binder | 5 - 100 |
| Lubricant | 5 - 20 |

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EXAMPLE 4 - SYRUPS

| <u>Ingredient</u> | <u>mg 10ml</u> |
|---|----------------|
| Diclophenac Sodium | 25 - 100 |
| Paracetamol | 250 - 1500 |
| Codeine | 5 - 60 |
| Solvents, solubilisers & stabilisers | 10 - 50 |
| Colouring Agents | 1 - 20 |
| Preservatives/Anti-oxidants | 0,1 - 1,0 |

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CLAIMS

1.

A pharmaceutical composition comprising as active ingredients therapeutically effective amounts of an anti-inflammatory agent selected from diclophenac, sulindac, indomethacin, naproxen, piroxicam, ketoprofen, and tiaprofenic acid, and pharmaceutically acceptable salts thereof and the analgesics paracetamol and codeine.

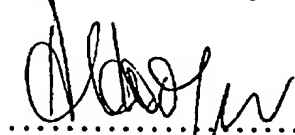
2.

A pharmaceutical composition according to claim 1 in the form of a tablet, suspension or capsule.

3.

A pharmaceutical composition according to claim 1 substantially as hereinbefore described with reference to any one of the illustrative examples.

DATED this 9th day of MAY 1989



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SPOOR AND FISHER
APPLICANT'S PATENT ATTORNEYS